

229694.ST25
SEQUENCE LISTING

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DYBA, Marcin
COHRAN, Carolyn

<120> CONJUGATES OF LIGAND, LINKER AND CYTOTOXIC AGENT AND RELATED
COMPOSITIONS AND METHODS OF USE

<130> 229694

<150> PCT/US03/06344
<151> 2003-02-27

<150> 60/360,543
<151> 2002-02-27

<150> 60/370,189
<151> 2002-04-05

<160> 28

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phe Ala Leu Ala
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Val Leu Ala Leu Ala
1 5

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Ala Leu Ala Leu
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Ala Leu Ala Leu Ala
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Leu Gly Pro Gln Gly Pro Pro His Leu Val Ala Asp Pro Ser Lys Lys
1 5 10 15

Gln Gly Pro Trp Leu Glu Glu Glu Glu Glu Ala Tyr Gly Trp Met Asp
20 25 30

Phe

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<222> (2)..(2)
<223> Xaa = at position 2 is norleucine

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Trp Xaa Asp Phe
1

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<222> (2)..(2)

<223> Xaa = at position 2 is sulfotyrosine

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Asp Xaa Met Gly Trp Met Asp Phe
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<222> (2)..(2)

<223> Xaa = at position 2 is sulfotyrosine

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<222> (3)..(3)

<223> Xaa = at position 3 is norleucine

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<222> (6)..(6)

<223> Xaa = at position 6 is norleucine

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Asp Xaa Xaa Gly Trp Xaa Asp Phe
1 5

<210> 9

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Val Pro Leu Pro Ala Gly Gly Gly Thr Val Leu Thr Lys Met Tyr Pro
1 5 10 15

Arg Gly Asn His Trp Ala Val Gly His Leu Met
20 25

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Trp Ala Val Gly His Leu Met
 1 5

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Ala Gly Cys Lys Asn Phe Phe Trp Lys Thr Phe Thr Ser Cys
 1 5 10

<210> 12
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 <222> (1)..(8)
 <223> wherein the peptide is carboxylated at either the N-or C-terminus

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Phe Cys Phe Trp Lys Thr Cys Thr
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Arg Pro Leu Pro Gln Gln Phe Phe Gly Leu Met
 1 5 10

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Pro Gly Thr Cys Glu Ile Cys Ala Tyr Ala Ala Cys Thr Gly Cys
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Asn Asp Asp Cys Glu Leu Cys Val Ala Cys Thr Gly Cys Leu
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Asn Tyr Cys Cys Glu Leu Cys Cys Asn Pro Ala Cys Thr Gly Cys Phe
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His Ser Asp Ala Leu Phe Thr Asp Asn Tyr Thr Arg Leu Arg Leu Gln
 1 5 10 15

Met Ala Val Lys Lys Tyr Leu Asn Ser Ile Leu Asn Gly
 20 25

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<223> Xaa = at position 17 is norleucine

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His Ser Asp Ala Leu Phe Thr Asp Asn Tyr Thr Arg Leu Arg Leu Gln
1 5 10 15

Xaa Ala Val Lys Lys Tyr Leu Asn Ser Ile Leu Asn Gly
20 25

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<223> Xaa = at position 5 is norleucine

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Ala Tyr Gly Trp Xaa Asp Phe
1 5

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<222> (8)..(8)
<223> Xaa = at position 8 is norleucine

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Glu Glu Glu Ala Tyr Gly Trp Xaa Asp Phe
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<222> (1)..(1)
<223> Xaa = at position 1 is 2-cyclohexyl-L-alanine

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Xaa Leu Ala Leu Ala
1 5

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<222> (1)..(1)
<223> Xaa = at position 1 is 2-cyclohexyl-L-alanine

<220>
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<222> (2)..(2)
<223> Xaa = at position 2 is 2-cyclohexyl-L-alanine

<400> 22

Xaa Xaa Leu Ala Leu
1 5

<210> 23
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<222> (1)..(1)
<223> Xaa = at position 1 is 1-naphthyl-alanine

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<222> (2)..(2)
<223> Xaa = at position 2 is 2-cyclohexyl-L-alanine

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Xaa Xaa Leu Ala Leu
 1 5

<210> 24

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<222> (1)..(1)

<223> Xaa = at position 1 is 1-naphtyl-alanine

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Xaa Leu Ala Leu Ala
 1 5

<210> 25

<211> 15

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<222> (13)..(13)

<223> Xaa = at position 13 is norleucine

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Val Leu Ala Leu Ala Glu Glu Glu Ala Tyr Gly Trp Xaa Asp Phe
 1 5 10 15

<210> 26

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<222> (1)..(1)

<223> V = at position 1 is conjugated to SPA110

<220>

<221> misc_feature

<222> (13)..(13)

<223> Xaa = at position 13 is norleucine

<220>

<221> misc_feature

<222> (15)..(15)

<223> F = at position 15 comprises a C-terminal amide group

<400> 26

| | | | | | | | | | | | | | | |
|-----|-----|-----|-----|-----|-----|-----|-----|-----|-----|-----|-----|-----|-----|-----|
| Val | Leu | Ala | Leu | Ala | Glu | Glu | Glu | Ala | Tyr | Gly | Trp | Xaa | Asp | Phe |
| 1 | | | | 5 | | | | | 10 | | | | | 15 |

<210> 27

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<222> (1)..(1)

<223> Xaa = at position 1 is 2-cyclohexyl-L-alanine and is conjugated to HTI-286

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<222> (13)..(13)

<223> Xaa = at position 13 is norleucine

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<221> misc_feature

<222> (15)..(15)

<223> F = at position 15 comprises a C-terminal amide group

<400> 27

| | | | | | | | | | | | | | | |
|-----|-----|-----|-----|-----|-----|-----|-----|-----|-----|-----|-----|-----|-----|-----|
| Xaa | Leu | Ala | Leu | Ala | Glu | Glu | Glu | Ala | Tyr | Gly | Trp | Xaa | Asp | Phe |
| 1 | | | | 5 | | | | | 10 | | | | | 15 |

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<221> misc_feature

<222> (13)..(13)

<223> Xaa = at position 13 is norleucine

<400> 28

| | | | | | | | | | | | | | | |
|-----|-----|-----|-----|-----|-----|-----|-----|-----|-----|-----|-----|-----|-----|-----|
| Phe | Leu | Ala | Leu | Ala | Glu | Glu | Glu | Ala | Tyr | Gly | Trp | Xaa | Asp | Phe |
| 1 | | | | 5 | | | | | 10 | | | | | 15 |